

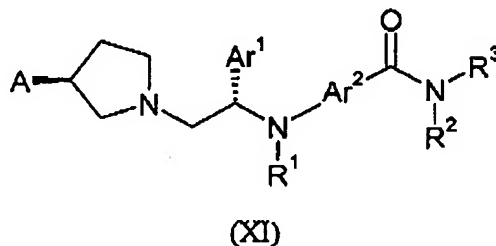
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Amendments to the Claims:

1. (Currently Amended) A ~~single step or multi step~~ process for the preparation of a compound of formula (XI):



or a stereoisomer thereof, wherein;

A is hydrogen, hydroxy, C<sub>1</sub>-C<sub>6</sub> (~~preferably C<sub>1</sub>-C<sub>4</sub>~~) alkyl, C<sub>1</sub>-C<sub>6</sub> (~~preferably C<sub>1</sub>-C<sub>4</sub>~~) fluoroalkyl (~~particularly CF<sub>3</sub>~~), C<sub>1</sub>-C<sub>6</sub> (~~preferably C<sub>1</sub>-C<sub>4</sub>~~) alkoxy, or OY wherein Y is a hydroxy protecting group or A, taken together with its geminal hydrogen, is an oxo group;

Ar<sup>1</sup> is phenyl optionally substituted by one or more (~~preferably one to two~~) substituents selected from fluoro, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, trifluoromethyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy and C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy;

Ar<sup>2</sup> is phenyl, naphthyl, pyridyl, thienyl, furyl, pyrrolyl or pyrimidyl, each being optionally substituted by one or more (~~preferably one to two~~) substituents selected from fluoro, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino and C<sub>1</sub>-C<sub>4</sub> fluoroalkyl;

R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl or benzyl wherein the phenyl moiety of said benzyl is optionally substituted with C<sub>1</sub>-C<sub>6</sub> alkoxy or OY wherein Y is a hydroxy protecting group; and

R<sup>2</sup> and R<sup>3</sup> are independently selected from hydrogen, C<sub>1</sub>-C<sub>7</sub> alkyl optionally substituted by one or more (~~preferably one to five~~) hydroxy or halo groups, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub>

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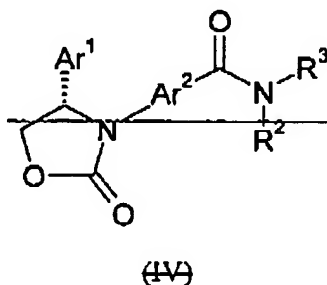
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alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>1</sub>-C<sub>7</sub> (preferably C<sub>1</sub>-C<sub>5</sub>)-alkoxy, phenyl optionally substituted by fluoro (preferably substituted by one or two fluoro groups), phenyl-C<sub>1</sub>-C<sub>7</sub> (preferably C<sub>1</sub>-C<sub>5</sub>)-alkyl wherein the phenyl group is optionally substituted by fluoro, and - (CH<sub>2</sub>)<sub>n</sub>X-R<sup>4</sup> wherein n is one or two, X is O or S and R<sup>4</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl, or, when Ar<sup>2</sup> is phenyl, -Ar<sup>2</sup>-C(=O)-N(R<sup>2</sup>)- is a phthalimide group and R<sup>3</sup> is C<sub>1</sub>-C<sub>7</sub> alkyl; or

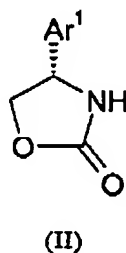
R<sup>2</sup> and R<sup>3</sup>, together with the nitrogen atom to which they are attached, form a pyrrolidine, piperidine or morpholine ring, optionally substituted by C<sub>1</sub>-C<sub>3</sub> alkyl or fluoro;

comprising a step in which the N-Ar<sup>2</sup> bond is constructed by a copper-mediated aryl amination.

2. (Currently Amended) A process as claimed in claim 1 wherein the copper-mediated aryl amination is carried out by a compound of formula (IV);



~~or the enantiomer thereof, wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, is prepared by treating a compound of formula (II):~~

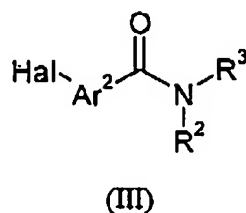


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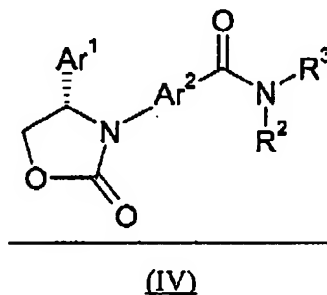
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or the enantiomer thereof, wherein  $\text{Ar}^1$  is as defined in claim 1, with a compound of formula (III):



wherein  $\text{Ar}^2$ ,  $\text{R}^2$  and  $\text{R}^3$  are as defined in claim 1 and wherein one unsubstituted position on the  $\text{Ar}^2$  moiety is substituted with a halogen group Hal, preferably Cl, Br or I, most preferably Br, in the presence of a cuprous salt, an amino ligand and a base to give a compound of formula (IV)



or the enantiomer thereof, wherein  $\text{Ar}^1$ ,  $\text{Ar}^2$ ,  $\text{R}^2$  and  $\text{R}^3$  are as defined in claim 1.

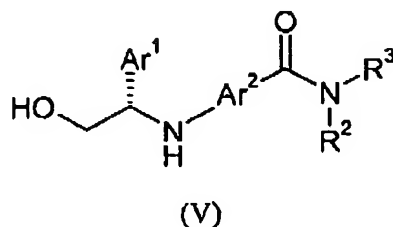
3. (Original) A process as claimed in claim 2 wherein the cuprous salt is CuI, CuBr or CuCl.
4. (Original) A process as claimed in claim 2 wherein the amino ligand is 1,2-diaminocyclohexane.
5. (Original) A process as claimed in claim 2 wherein the base is sodium carbonate, potassium carbonate or cesium carbonate.

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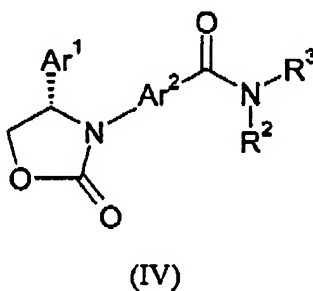
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6. (Currently Amended) A process as claimed in claim ~~1~~2 wherein a compound of formula (V):

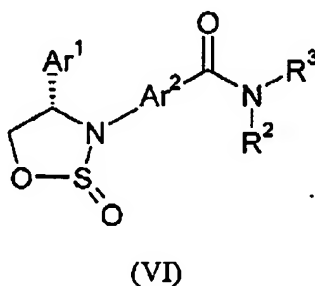


or the enantiomer thereof, wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, is prepared by treating a compound of formula (IV):



or the enantiomer thereof, wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, with a base in the presence of water.

7. (Currently Amended) A process as claimed in claim ~~4~~6 wherein a compound of formula (VI):

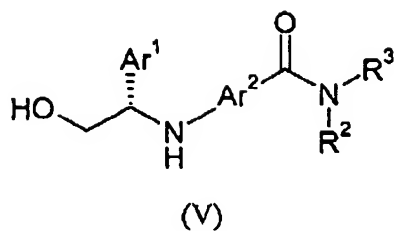


wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, or the enantiomer thereof, is prepared by treating a compound of formula (V):

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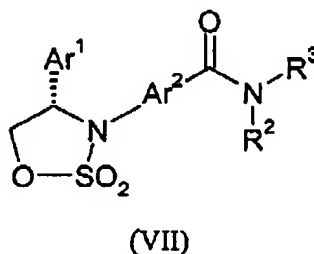
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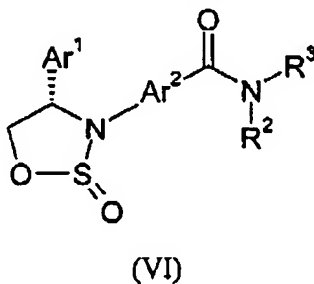


or the enantiomer thereof, wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, with a thionyl halide.

8. (Currently Amended) A process as claimed in claim +7 wherein a compound of formula (VII):



wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, or the enantiomer thereof, is prepared by oxidising a compound of formula (VI):



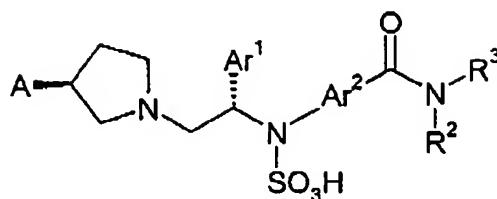
wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, or the enantiomer thereof.

9. (Currently Amended) A process as claimed in claim +8 wherein a compound of formula (IX):

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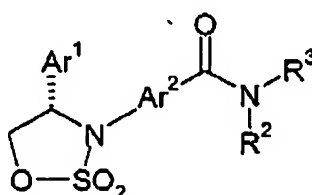
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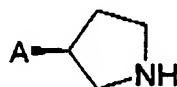
(IX)

wherein A, Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, or a zwitterion thereof, or a stereoisomer of either, is prepared by treating a compound of formula (VII):



(VII)

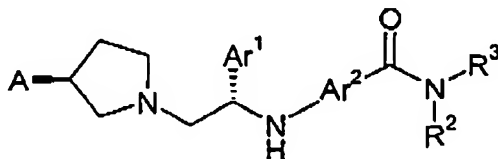
wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, or the enantiomer thereof, with a compound of formula (VIII):



(VIII)

wherein A is as defined in claim 1, or the enantiomer thereof.

10. (Currently Amended) A process as claimed in claim 19 wherein a compound of formula (X):



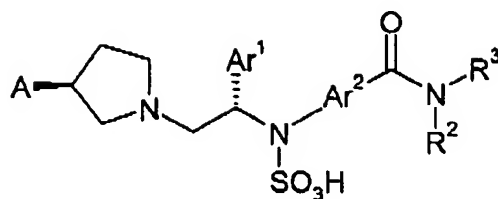
(X)

wherein A, Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, or a stereoisomer thereof is prepared by hydrolytically cleaving the -SO<sub>3</sub>H group in a compound of formula (IX):

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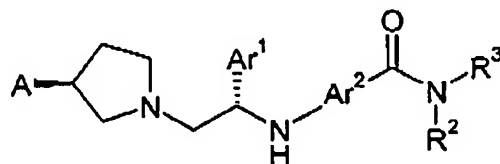
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(IX)

wherein A, Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, or a zwitterion thereof, or a stereoisomer of either.

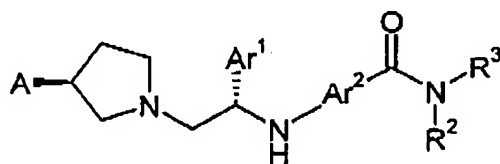
11. (Currently Amended) A process as claimed in claim ~~1~~10 wherein a compound of the formula (XI), as defined in claim 1, or a stereoisomer thereof, is prepared by the reductive alkylation of a compound of formula (X):



(X)

wherein A, Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above, or a stereoisomer thereof.

12. (Currently Amended) A process for the preparation of a compound of formula (XI), as defined in claim 1, or a stereoisomer thereof, comprising the reductive alkylation amination of a compound of formula (X):



(X)

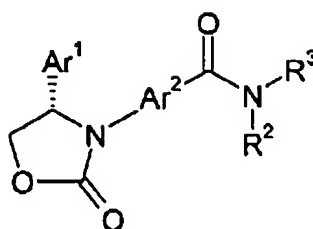
or a stereoisomer thereof, wherein A, Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1.

13. (Original) A process for the preparation of a compound of formula (IV):

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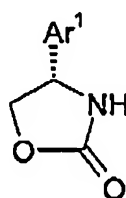
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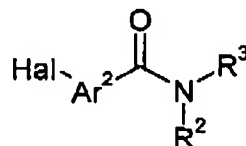
(IV)

or the enantiomer thereof, wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, comprising treating a compound of formula (II):



(II)

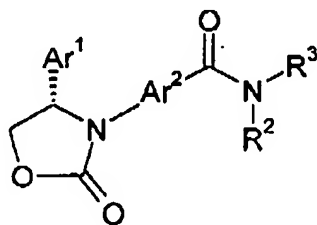
or the enantiomer thereof, wherein Ar<sup>1</sup> is as defined in claim 1, with a compound of formula (III):



(III)

wherein Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1 and wherein one unsubstituted position on the Ar<sup>2</sup> moiety is substituted with a halogen group Hal, preferably Cl, Br or I, most preferably Br, in the presence of a cuprous salt, an amino ligand and a base.

14. (Original) A compound of formula:



(IV)

or

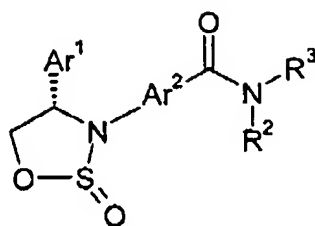
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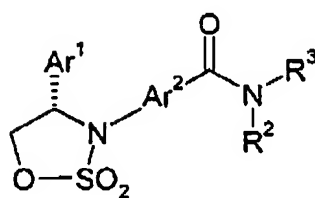
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(VI)

or



(VII)

wherein Ar<sup>1</sup>, Ar<sup>2</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1.